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LOGINID:SSPTAMPC1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1         Web Page for STN Seminar Schedule - N. America
 NEWS  2  DEC 01  ChemPort single article sales feature unavailable
 NEWS  3  APR 03  CAS coverage of exemplified prophetic substances
                  enhanced
 NEWS  4  APR 07  STN is raising the limits on saved answers
 NEWS  5  APR 24  CA/CAplus now has more comprehensive patent assignee
                  information
 NEWS  6  APR 26  USPATFULL and USPAT2 enhanced with patent
                  assignment/reassignment information
 NEWS  7  APR 28  CAS patent authority coverage expanded
 NEWS  8  APR 28  ENCOMPLIT/ENCOMPLIT2 search fields enhanced
 NEWS  9  APR 28  Limits doubled for structure searching in CAS
                  REGISTRY
 NEWS 10  MAY 08  STN Express, Version 8.4, now available
 NEWS 11  MAY 11  STN on the Web enhanced
 NEWS 12  MAY 11  BEILSTEIN substance information now available on
                  STN Easy
 NEWS 13  MAY 14  DGENE, PCTGEN and USGENE enhanced with increased
                  limits for exact sequence match searches and
                  introduction of free HIT display format
 NEWS 14  MAY 15  INPADOCDB and INPAFAMDB enhanced with Chinese legal
                  status data
 NEWS 15  MAY 28  CAS databases on STN enhanced with NANO super role in
                  records back to 1992
 NEWS 16  JUN 01  CAS REGISTRY Source of Registration (SR) searching
                  enhanced on STN
 NEWS 17  JUN 26  NUTRACEUT and PHARMAML no longer updated
 NEWS 18  JUN 29  IMSCOPROFILE now reloaded monthly
 NEWS 19  JUN 29  EPFULL adds Simultaneous Left and Right Truncation
                  (SLART) to AB, MCLM, and TI fields
 NEWS 20  JUL 09  PATDPAFULL adds Simultaneous Left and Right
                  Truncation (SLART) to AB, CLM, MCLM, and TI fields
 NEWS 21  JUL 14  USGENE enhances coverage of patent sequence location
                  (PSL) data
 NEWS 22  JUL 27  CA/CAplus enhanced with new citing references
 NEWS 23  JUL 16  GBFULL adds patent backfile data to 1855
 NEWS 24  JUL 21  USGENE adds bibliographic and sequence information

 NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8 4,
              AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
```

Enter NEWS followed by the item number or name to see news on that
specific topic.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:41:44 ON 27 JUL 2009

```
=> file reg
COST IN U.S. DOLLARS                    SINCE FILE     TOTAL
                                             ENTRY   SESSION
FULL ESTIMATED COST                           0.22      0.22
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FILE 'REGISTRY' ENTERED AT 12:41:56 ON 27 JUL 2009

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 JUL 2009 HIGHEST RN 1168220-55-0
DICTIONARY FILE UPDATES: 24 JUL 2009 HIGHEST RN 1168220-55-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

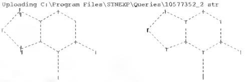
TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Program Files\STNEXP\Queries\10577352_2 str



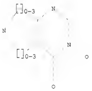
chain nodes :
7 9
ring nodes :
1 2 3 4 5 6 10 11 12
chain bonds :
5-9 6-7
ring bonds :
1-3 1-2 1-10 2-6 2-11 3-4 4-5 5-6 10-12 11-12
exact/norm bonds :
1-3 1-2 1-10 2-6 2-11 3-4 4-5 5-6 5-9 6-7 10-12 11-12

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:Atom 11:Atom 12:Atom

L1   STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1   STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation

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SAMPLE SEARCH INITIATED 12:42:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      101 TO ITERATE

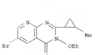
100.0% PROCESSED       101 ITERATIONS                    8 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        1418 TO    2622
PROJECTED ANSWERS:              8 TO     329

L2            8 SEA SSS SAM L1
```

=> d scan

L2   8 ANSWERS   REGISTRY COPYRIGHT 2009 ACS on STN
IN   Pyrido[2,3-d]pyrimidin-4(3H)-one, 6-bromo-3-ethoxy-2-(2-methylcyclopropyl)-
MF   C13 H14 Br N3 O2

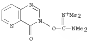


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

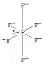
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L2   8 ANSWERS   REGISTRY COPYRIGHT 2009 ACS on STN
IN   Methanaminium, (dimethylamino)dimethyl[(4-oxopyrido[3,2-d]pyrimidin-3(4H)-yl)oxy]-, hexafluorophosphate(1-) (1:1)
MF   C12 H16 N5 O2 . F6 P

CM 1



CM 2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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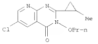
MF   C14 H16 Cl N3 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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FULL SEARCH INITIATED 12:43:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2304 TO ITERATE

100.0% PROCESSED 2304 ITERATIONS 160 ANSWERS
SEARCH TIME: 00.00.01

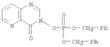
L3 160 SEA SSS FUL L1

=> s l3 and caplus/lc
67860126 CAPLUS/LC
L4 155 L3 AND CAPLUS/LC

=> s l3 not l4
L5 5 L3 NOT L4

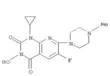
=> d l5 1-5

L5 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2009 ACS on STN
RN 1027529-46-9 REGISTRY
ED Entered STN: 12 Jun 2008
CN INDEX NAME NOT YET ASSIGNED
MF C21 H18 N3 O5 P
SR Other Sources
Database: ChemSpider (ChemZoo, Inc.)



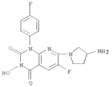
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2009 ACS on STN
[illegible]
ED Entered STN: 21 Nov 2004
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione,
1-cyclopropyl-6-fluoro-3-hydroxy-7-(4-methyl-1-piperazinyl)- (CA INDEX NAME)
MF C15 H18 F N5 O3
CI COM
SR CA



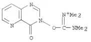
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2009 ACS on STN
RN 775270-32-1 REGISTRY
ED Entered STN: 05 Nov 2004
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione,
7-(3-amino-1-pyrrolidinyl)-6-fluoro-1-(4-fluorophenyl)-3-hydroxy- (CA INDEX NAME)
MF C17 H15 F2 N5 O3
CI COM
SR CA

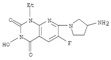


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L5 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2009 ACS on STN
RN 655244-93-2 REGISTRY
ED Entered STN: 27 Feb 2004
CN Methanaminium, (dimethylamino)dimethyl[(4-oxopyrido[3,2-d]pyrimidin-3(4H)-yl)oxy]- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Methanaminium, N-[(dimethylamino)[(4-oxopyrido[3,2-d]pyrimidin-3(4H)-
[illegible]
MF C12 H16 N5 O2
CI COM
SR CA



L5 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2009 ACS on STN
RN 224189-66-6 REGISTRY
ED Entered STN: 04 Jun 1999
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione,
7-(3-amino-1-pyrrolidinyl)-1-ethyl-6-fluoro-3-hydroxy- (CA INDEX NAME)
MF C13 H16 F N5 O3
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	202.44	202.66

FILE 'CAPLUS' ENTERED AT 12:43:45 ON 27 JUL 2009

FILE COVERS 1907 - 27 Jul 2009 VOL 151 ISS 5
FILE LAST UPDATED: 26 Jul 2009 (20090726/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
[illegible]

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 22.

=> d his

(FILE 'HOME' ENTERED AT 12:41:44 ON 27 JUL 2009)

FILE 'REGISTRY' ENTERED AT 12:41:56 ON 27 JUL 2009
L1 STRUCTURE UPLOADED
L2 8 S L1 SAM
L3 160 S L1 FULL
L4 155 S L3 AND CAPLUS/LC
L5 5 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 12:43:45 ON 27 JUL 2009

=> s l4
L6 32 L4

=> d l6 occ 1-32

L6 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 2

L6 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 7

L6 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 10

L6 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 12

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 7

L6 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 4

L6 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 1

L6 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT

IT 2

L6 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 5

L6 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 44

L6 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 45

L6 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 3

L6 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 3

L6 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 1

L6 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
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L6 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
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L6 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 3

L6 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 1

L6 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
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L6 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
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L6 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 2

L6 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 2

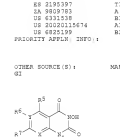
L6 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 1

RO, SG, SI, SK, SL, TR, TT, UA, UG, US, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RN: GH, GM, KE, LS, MW, SD, SZ, UG, AM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9895039	A	19990517	AU 1998-95039	19980923
EP 1028950	A1	20000823	EP 1998-948473	19980923
EP 1028950	B1	20030502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 239000	T	20030515	AT 1998-948473	19980923
ES 2195397	T3	20031201	ES 1998-948473	19980923
ZA 9809783	A	19990428	ZA 1998-9783	19981027
US 6331538	B1	20011218	US 2000-508796	20000315
US 20022115674	A1	20020822	US 2001-971343	20011004
US 6825199	B2	20041130		

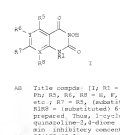
PRIORITY APPLN. INFO.: US 1997-61556P P 19971008
US 1998-98588P P 19980831
WO 1998-US19877 W 19980923
US 2000-508796 A3 20000315

OTHER SOURCE(S): MARPAT 130:338119
GI



I

GI



AB Title compds. [I; R1 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph; R3, R4, R8 = H, F, Cl, Br, NO2, cyano, CF3, alkyl, cycloalkyl, amino, etc.; R7 = R9, (substituted) carbocyclyl, Ph, (fused) heterocyclyl, etc.; R1NR8 = (substituted) 6-7 membered (heterocyclic) ring; X, Y = C, N], were prepared. Thus, 7-cyclopropyl-6-fluoro-3-hydroxy-7-pyrrolidin-1-yl-1H-quinazoline-2,4-dione (preparation given) inhibited Staphylococcus aureus with min. inhibitory concentration = 1.0 μg/mL.

IT 224189-62-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unassigned); PAC (Pharmacological activity); PAC (Pharmacological activity); PREP (Preparation); USES (Uses)
RIOL (Biological activity); PREP (Preparation); USES (Uses)

L6 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 2

L6 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
AB 1
IT 1

L6 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 4

L6 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
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L6 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 2

L6 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 1

L6 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
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L6 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 1

L6 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
FIELD COUNT
IT 2

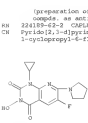
-> d 16 ibib qi abs fhitstr 10-11; d 16 ibib qi abs hitstr 1-9, 12-32

L6 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:311055 CAPLUS
DOCUMENT NUMBER: 130:338119
TITLE: Preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and related compounds as antibacterial agents
INVENTOR(S): Domagala, John Michael; Ellsworth, Edmund Lee; Huang, Lirong; Sesnu, Thomas Eric; Singh, Rajeshwar; Stier, Michael Andrew
PATENT ASSIGNEE(S): Warner Lambert Co , USA
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9921840	A1	19990506	WO 1998-US19877	19980923
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL,				

(preparation of 7-substituted 3-hydroxyquinazoline-2,4-diones and related compds. as antibacterial agents)

RN 224189-62-2 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-cyclopropyl-6-fluoro-3-hydroxy-7-(3-pyrrolidinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:216904 CAPLUS
DOCUMENT NUMBER: 130:252148
TITLE: Preparation of novel pyrimidin-4-ones and pyrimidine-4-thiones as fungicides
INVENTOR(S): Walter, Harald
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO	KIND	DATE	APPLICATION NO	DATE
WO 9914202	A2	19990325	WO 1998-EP5790	19980910
WO 9914202	A3	19990514		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
UA 6292/54	B	20010411	UA 1998-87114637	19980825
CA 2302694	A1	19990325	CA 1998-2302694	19980910
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AU 743717	B2	20020131		
EP 1015434	A2	20000705	EP 1998-951380	19980910
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BR 9812439	A	20000926	BR 1998-12439	19980910
HU 2000001288	A2	20001128	HU 2000-1288	19980910
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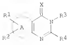
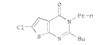
JP 2001516749	T	20011002	JP 2000-511753	19980910

NZ 503261	A	20020328	NZ 1998-503261	19980910
AT 216370	T	20020515	AT 1998-951380	19980910
ES 2175804	T3	20021116	ES 1998-951380	19980910
ZA 9808336	A	19990212	ZA 1998-8336	19980911
IN 1998MA02058	A	20050304	IN 1998-MA2058	19980911
EG 22051	A	20020630	EG 1998-1103	19980912
MX 2000002413	A	20001030	MX 2000-2413	20000309
US 6277858	B1	20010821	US 2000-508307	20000309

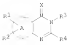
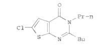
PRIORITY APPLN. INFO.: GB 1997-19411 A 19970912; WO 1998-EP5790 W 19980910

OTHER SOURCE(S): MARPAT 130:252368

GI

 I  II

GI

 I  II

AB The title compds. [I; A = Ph, thienyl, thiazolyl, pyridyl, pyridazinyl; X = O, S; R1 = H, halo, Me3Si; R2 = H, halo, Me3Si; at least one of R1 and R2 is not H; R3 = (un)substituted C1-8 alkyl, C1-8 alkenyl, C1-8 alkynyl, etc.; R4 = (un)substituted C1-8 alkyl, C1-8 alkenyl, C1-8 alkynyl, etc.] which have plant-protective properties and are suitable for protecting plants against infestation by phytopathogenic microorganisms, in particular fungi, were prepared. E.g., a few-step synthesis of thienopyrimidine II, which showed especially strong efficacy against Podosphaera leucotricha on apple shoots at 0.06% a.i. (spray mixture), was given.

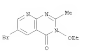
IT 1097891-71-8

RL: PRPH (Prophetic)

(Preparation of novel pyrimidin-4-ones and pyrimidine-4-thiones as fungicides)

RN 1097891-71-8 CAPLUS

CN Pyrido[2,3-d]pyrimidin-4(3H)-one, 6-bromo-3-ethoxy-2-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2008:1042828 CAPLUS

DOCUMENT NUMBER: 149:301299

TITLE: Preparation of fungicidal tricyclic 1,2,4-triazoles

INVENTOR(S): Bereznak, James Francis; Chan, Dominic Ming-Tak; Geffken, Detlef; Hanagan, Mary Ann; Lepone, Gerald Edward; Pasteris, Robert James; Swann, Steven Lewis, Jr

PATENT ASSIGNEE(S): E. I. du Pont de Nemours and Company, USA

SOURCE: PCT Int. Appl., 104pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO	KIND	DATE	APPLICATION NO	DATE
WO 2008103357	A1	20080828	WO 2008-US2191	20080220

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-902407P P 20070221

OTHER SOURCE(S): MARPAT 149:301299

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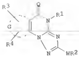 I

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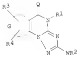
 I

AB The tricyclic 1,2,4-triazoles I [W = O, S(O)n, NR5 or bond; Q = O, S or NR6; G together with the 2 C to which it is attached forms a 5- or 6-membered ring; R1 = (halo)alkyl, (halo)alkenyl, (halo)alkynyl, (un)substituted NH2, (un)substituted Ph, pyridinyl etc.; R2 = (halo)alkyl, (halo)alkenyl, (halo)alkynyl, etc.; R3 = H, halo, NO2, CN, (halo)alkyl, (halo)alkenyl, (halo)alkynyl, etc.; R4 = H, halo, (halo)alkyl or (halo)alkoxy; R5 = H, alkyl, CH(O), etc.; R6 = H, (halo)alkyl, etc.] are prepared as fungicides.

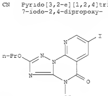
IT 1062279-32-6P 1062280-59-4P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); PRPH (Prophetic); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation as fungicide)

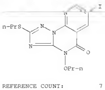
RN 1062279-32-6 CAPLUS

CN Pyrido[3,2-e][1,2,4]triazolo[1,5-a]pyrimidin-5(4H)-one, 7-iodo-2,4-dipropoxy- (CA INDEX NAME)



RN 1062280-59-4 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2008:799479 CAPLUS

DOCUMENT NUMBER: 149:128849

TITLE: Preparation of phenylamino pyridopyrimidinediones as MAPK/ERK kinase inhibitors

INVENTOR(S): Dong, Qing; Gong, Xianchang; Kaldor, Stephen W.; Kanouni, Toufike; Scorah, Nicholas; Wallace, Michael B.; Zhou, Feng

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 205pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008079814	A2	20080703	WO 2007-US87913	20071218
WO 2008079814	A3	20080904		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080255160	A1	20081016	US 2007-958999	20071218

PRIORITY APPLN. INFO.: US 2006-870913P P 20061220

OTHER SOURCE(S): MARPAT 149:128849

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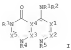 I

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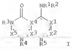
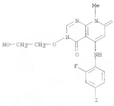
 I

AB Title compds. [I; X1, X2 = CR6R7, CO, CS, NR8; X3, X4 = CR7, N; X5 = CR6R7, CS, NR8; R1 = (substituted) cycloalkyl, heterocycloalkyl,

bicycloalkyl, aryl, heteroaryl, etc.; R2 = H, group convertible in vivo to H; R3-R5, R8 = null, H, O, OH, (substituted) alkyl, alkoxy, aryloxy, heteroaryloxy, aminoalkyl, cycloalkyl, bicycloalkyl, aryl, heteroaryl, etc.; R6, R7 = H, halo, cyano, (substituted) heteroaryloxy, aminocarbonyl, amino, sulfonylalkyl, cycloalkylalkyl, aryl, heteroaryl, etc.], were prepared. Thus, title compound (R)-3-(2,3-dihydroxypropyl)-5-(2-fluoro-4-iodophenylamino)-8-methylpyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione (preparation outlined) inhibited MEK1 with IC50 ≤5 nM.

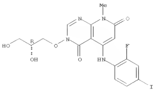
IT 1035555-71-5P, 5-(2-Fluoro-4-iodophenylamino)-3-(2-hydroxyethoxy)-8-methylpyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione 1035555-72-6P, (R)-3-(2,3-Dihydroxypropoxy)-5-(2-fluoro-4-iodophenylamino)-8-methylpyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione 1035555-73-7P, (R)-3-(2,3-Dihydroxypropoxy)-6-fluoro-5-(2-fluoro-4-iodophenylamino)-8-methylpyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione 1035556-02-5P, (S)-3-(2,3-Dihydroxypropoxy)-5-(2-fluoro-4-iodophenylamino)-8-methylpyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione 1035556-03-6P, 3-(2-Aminoethoxy)-5-(2-fluoro-4-iodophenylamino)-8-methylpyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione 1035556-11-6P, 5-(2-Fluoro-4-iodophenylamino)-3-(2-hydroxyethoxy)-6,8-dimethylpyrido[4,3-d]pyrimidine-4,7(3H,6H)-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of phenylamino pyridopyrimidinediones as MAPK/ERK kinase inhibitors)

RN 1035555-71-5 CAPLUS
CN Pyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione, 5-[(2-fluoro-4-iodophenyl)amino]-3-(2-hydroxyethoxy)-8-methyl- (CA INDEX NAME)



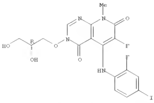
RN 1035555-72-6 CAPLUS
CN Pyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione, 3-[(2R)-2,3-dihydroxypropoxy]-5-[(2-fluoro-4-iodophenyl)amino]-8-methyl- (CA INDEX NAME)

Absolute stereochemistry.



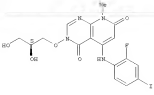
RN 1035555-73-7 CAPLUS
CN Pyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione, 3-[(2R)-2,3-dihydroxypropoxy]-6-fluoro-5-[(2-fluoro-4-iodophenyl)amino]-8-methyl- (CA INDEX NAME)

Absolute stereochemistry.

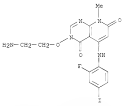


RN 1035556-02-5 CAPLUS
CN Pyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione, 3-[(2S)-2,3-dihydroxypropoxy]-5-[(2-fluoro-4-iodophenyl)amino]-8-methyl- (CA INDEX NAME)

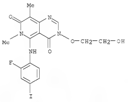
Absolute stereochemistry.



RN 1035556-03-6 CAPLUS
CN Pyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione, 3-(2-aminoethoxy)-5-[(2-fluoro-4-iodophenyl)amino]-8-methyl- (CA INDEX NAME)

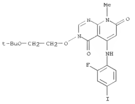


RN 1035556-11-6 CAPLUS
CN Pyrido[4,3-d]pyrimidine-4,7(3H,6H)-dione, 5-[(2-fluoro-4-iodophenyl)amino]-3-(2-hydroxyethoxy)-6,8-dimethyl- (CA INDEX NAME)



IT 1035556-52-5P, 3-(2-tert-Butoxyethoxy)-5-(2-fluoro-4-iodophenylamino)-8-methylpyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylamino pyridopyrimidinediones as MAPK/ERK kinase inhibitors)

RN 1035556-52-5 CAPLUS
CN Pyrido[2,3-d]pyrimidine-4,7(3H,8H)-dione, 3-[2-(1,1-dimethylethoxy)ethoxy]-5-[(2-fluoro-4-iodophenyl)amino]-8-methyl- (CA INDEX NAME)



L6 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1328435 CAPLUS
DOCUMENT NUMBER: 144:64334
TITLE: Preparation of 5-amino-2,4,7-trioxo-3,4,7,8-tetrahydro-2H-pyrido[2,3-d]pyrimidines and related compounds as MEK inhibitors and p15 and p27 protein inducers for the treatment of cancer and rheumatic diseases
INVENTOR(S): Sakai, Toshiyuki; Kawasaki, Hisashi; Abe, Hiroyuki; Hayakawa, Kazuhide; Iida, Tetsuya; Kikuchi, Shinichi; Yamaguchi, Takayuki; Nanayama, Toyomichi; Kurachi, Hironori; Tamaru, Masahiro; Hori, Yoshikazu; Takahashi, Mitsuru; Yoshida, Takayuki
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 324 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005121142	A1	20051222	WO 2005-JP11082	20050610
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
[illegible] AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005252110	A1	20051222	AU 2005-252110	20050610
AU 2005252110	B2	20080904		
CA 2569850	A1	20051222	CA 2005-2569850	20050610
US 20060014768	A1	20060119	US 2005-150792	20050610
US 7378423	B2	20080527		
EP 1761528	A1	20070314	EP 2005-751244	20050610
EP 1761528	B1	20080109		

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 101006086	A	20070725	CN 2005-80026666	20050610
AT 383360	T	20080115	AT 2005-751244	20050610
BR 2005011967	A	20080122	BR 2005-11967	20050610
JP 2008501631	T	20080124	JP 2006-551659	20050610
JP 4163738	B2	20081008		
EP 1894932	A1	20080305	EP 2007-18816	20050610
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ZA 2007000208	A	20080430	ZA 2007-208	20050610
ES 2297723	T3	20080501	ES 2005-751244	20050610
MX 2006014478	A	20070321	MX 2006-14478	20061211
NO 2007000155	A	20070213	NO 2007-155	20070109
IN 2007CN00102	A	20070824	IN 2007-CN102	20070110
KR 2007034581	A	20070328	KR 2007-700746	20070111
KR 883289	B1	20090211		
HK 1107084	A1	20080530	HK 2007-109077	20070821
US 20080312228	A1	20081218	US 2008-53133	20080321
JP 2008201788	A	20080904	JP 2008-76759	20080324

PRIORITY APPLN. INFO.:	JP 2004-174770	A	20040611
	JP 2004-327111	A	20041110
	US 2004-630596P	P	20041123
	EP 2005-751244	A3	20050610
	JP 2006-551659	A3	20050610
	US 2005-150792	A1	20050610
	WO 2005-JP11082	W	20050610

OTHER SOURCE(S): CASREACT 144:64334; MARPAT 144:64334

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

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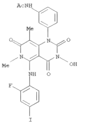
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to 5-amino-2,4,7-trioxo-3,4,7,8-tetrahydro-2H-pyrido[2,3-d]pyrimidines and related compds. (shown as I; variables defined below; e.g. N-[3-[5-(4-bromo-2-fluorophenylamino)-3-cyclopropyl-8-methyl-2,4,7-trioxo-3,4,7,8-tetrahydro-2H-pyrido[2,3-d]pyrimidin-1-yl]phenyl]methanesulfonamide (shown as II)), a pharmaceutically acceptable salt thereof, and a pharmaceutical agent for the prophylaxis or treatment of a disease caused by undesirable cell proliferation, particularly an antitumor agent and also an antirheumatoid agent, which contains such compound. By the combined use with other antitumor agents such as alkylating agent, metabolism antagonist and the like, it can be a more effective antitumor agent. Although the methods of preparation are not claimed, prepns. and/or characterization data for hundreds of examples of I are included. For example, II was prepared in 9 steps (99, 65, 34, 55, 51, 82, 74, 95 and 83 %, resp.) starting from cyclopropylamine and 3-nitrophenyl to give 1-cyclopropyl-3-(3-nitrophenyl)urea followed by formation of intermediates 1-cyclopropyl-3-(3-nitrophenyl)pyrimidine-2,4,6-trione, 6-chloro-3-cyclopropyl-1-(3-nitrophenyl)-1H-pyrimidine-2,4-dione, 3-cyclopropyl-6-methylamino-1-(3-nitrophenyl)-1H-pyrimidine-2,4-dione, 3-cyclopropyl-5-hydroxy-8-methyl-1-(3-nitrophenyl)-1H,8H-pyrido[2,3-d]pyrimidine-2,4,7-trione, toluene-4-sulfonic acid 3-cyclopropyl-8-methyl-1-(3-nitrophenyl)-2,4,7-trioxo-1,2,3,4,7,8-hexahydropyrido[2,3-d]pyrimidin-5-yl ester, toluene-4-sulfonic acid 1-(3-aminophenyl)-3-cyclopropyl-8-methyl-2,4,7-trioxo-1,2,3,4,7,8-hexahydropyrido[2,3-d]pyrimidin-5-yl ester and toluene-4-sulfonic acid 3-cyclopropyl-1-[3-[(methylsulfonyl)amino]phenyl]-8-methyl-2,4,7-trioxo-1,2,3,4,7,8-hexahydropyrido[2,3-d]pyrimidin-5-yl ester. Pharmacol. data are presented for some examples of I. For I: X1 and X2 independently = C or N; R1, R2, and R6 independently = C1-6 alkyl, C2-6 alkenyl; R3, R4, and R5 independently = H, OH, C1-6 alkyl, C2-6 alkenyl, C3-12 C ring or heterocyclyl; R2 and R3 are optionally linked to form a C1-4 alkylene group, or R4 and R5 are optionally linked to form a C1-4 alkylene group; addnl. details are given in the claims.

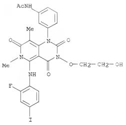
IT 1044056-36-1 1044056-39-4 1044056-40-7
1044056-42-9 1044056-44-1 1044057-86-4
1044057-87-5 1044057-91-1 1044057-92-2
1044057-94-4

RL: PRPH (Prophetic)
(Preparation of 5-amino-2,4,7-trioxo-3,4,7,8-tetrahydro-2H-pyrido[2,3-d]pyrimidines and related compounds as MEK inhibitors and p15 and p27 protein inducers for the treatment of cancer and rheumatic diseases)

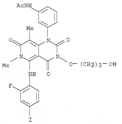
RN 1044056-36-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1044056-39-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

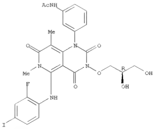


RN 1044056-40-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



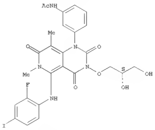
RN 1044056-42-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

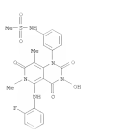


RN 1044056-44-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

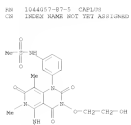
Absolute stereochemistry.



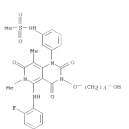
RN 1044057-86-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1044057-87-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1044057-91-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

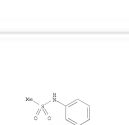


RN 1044057-92-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 1044057-94-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



OS CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:409946 CAPLUS
DOCUMENT NUMBER: 142:482321
TITLE: New coupling agents for peptide synthesis
INVENTOR(S): Carpino, Louis A.; Xia, Jusong; Zhang, Chongwu; Sferdean, Calin Dan
PATENT ASSIGNEE(S): The University of Massachusetts, USA
SOURCE: PCT Int. Appl., 209 pp
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC NUM. COUNT: 1
PATENT INFORMATION:

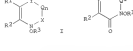
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042562	A2	20050512	WO 2004-US36428	20041101
WO 2005042562	A3	20050721		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004285951	A1	20050512	AU 2004-285951	20041101
CA 2543930	A1	20050512	CA 2004-2543930	20041101
EP 1687318	A2	20060809	EP 2004-817513	20041101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1898254	A	20070117	CN 2004-80030027	20041101
			US 20070113196 A1 20070517 US 2006-577352 20061222	
PRIORITY APPLN. INFO.:			US 2003-516167P P 20031030	
			WO 2004-US36428 W 20041101	

OTHER SOURCE(S): CASREACT 142:482321; MARPAT 142:482321
GI

AB The invention is directed to compds. I (R1, R2 taken together with the carbon atoms to which they are attached form an aryl or heteroaryl ring; R3 is a phosphoryl group; Y is O, NR4 or CR4R5, where R4, R5 are H or alkyl; X is CR6R7 or NR6, where R6, R7 are independently H or alkyl or together form an oxo group; Q is CSR8 9 or NR8, where R8, R9 are independently H or alkyl or CSR8 9 is an aryl ring; or R8 together with R4 or R6 forms a bond; n is 0 or 1; and T1 (R1, R2 taken together with the carbon atoms to which they are attached form a heteroaryl ring; R14 is a phosphoryl group, H or pos.-charged electron-withdrawing group; T1 is N or CH15 and Q1 is N or CR16, where R15 and R16 are independently is H or alkyl] and their salts or N-oxides for use as peptide coupling reagents Thus, diethoxyphosphoryloxy-7-azabenzotriazole (DEPOAt) was prepared by esterification of HOAt with di-Et chlorophosphate and examined for efficiency in solution- and solid-phase peptide coupling reactions

IT 655244-90-9P, HOOhad
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(new coupling agents for peptide synthesis)

RN 655244-90-9 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-hydroxy- (CA INDEX NAME)



IT 654651-47-5P 654651-50-2P 655244-94-3P,
HDAC97 851478-97-2P 851478-99-4P
851479-01-1P 851479-03-3P 851479-05-5P
851479-09-9P 851479-10-2P 851479-11-3P
RL: RCT (Reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(new coupling agents for peptide synthesis)
RN 654651-47-5 CAPLUS
CN Carbamic acid, [1,1-dimethyl-2-oxo-2-[(4-oxopyrido[3,2-d]pyrimidin-3(4H)-yl)oxy]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 654651-50-2 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4-oxopyrido[3,2-d]pyrimidin-3-yl ester (CA INDEX NAME)

RN 655244-94-3 CAPLUS
CN Methanaminium, (dimethylamino)dimethyl[(4-oxopyrido[3,2-d]pyrimidin-3(4H)-yl)oxy]-, hexafluorophosphate(1-) (1:1) (CA INDEX NAME)

CM 1

CRN 655244-93-2
CNF C12 H16 N5 O2

CM 2

CRN 16919-18-9
CNF F6 P

CCI CCS

RN 851479-08-8 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-[(5-oxido-5(5H)-oxidophosphinyl)oxy]- (9CI) (CA INDEX NAME)

RN 851479-09-9 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-[(10-oxido-10(5H)-phenoxaphosphinyl)oxy]- (9CI) (CA INDEX NAME)

RN 851479-10-2 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-[[(10-oxido-10H-phenoxaphosphin-9a-yl)(10-oxido-10H-phenoxaphosphin-10a-yl)phosphinyl]oxy]- (CA INDEX NAME)

RN 851479-11-3 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-[[(10-oxido-10H-phenothiaphosphin-9a-yl)(10-oxido-10H-phenothiaphosphin-10a-yl)phosphinyl]oxy]- (CA INDEX NAME)

RN 851478-97-2 CAPLUS
CN Phosphonic acid, [(4-oxopyrido[3,2-d]pyrimidin-3(4H)-yl)oxy]-, diethyl ester (9CI) (CA INDEX NAME)

RN 851478-99-4 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-[(2-oxido-1,3,2-dioxaphosphorinan-2-yl)oxy]- (CA INDEX NAME)

RN 851479-01-1 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-[(diphenylphosphinyl)oxy]- (9CI) (CA INDEX NAME)

RN 851479-03-3 CAPLUS
CN Phosphonic acid, [(4-oxopyrido[3,2-d]pyrimidin-3(4H)-yl)oxy]-, diphenyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:205975 CAPLUS
DOCUMENT NUMBER: 142:197902
TITLE: Product class 19: pyridopyrimidines
AUTHOR(S): Kaba, M.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2004), 16, 1155-1267
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Preparation of pyridopyrimidines is given
IT 3303-23-9P 40338-52-1P 40338-53-2P
40338-55-4P 40338-56-5P 40462-37-1P
128037-06-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of pyridopyrimidines)
RN 3303-23-9 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-hydroxy-2-methyl- (CA INDEX NAME)

RN 40338-52-1 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

RN 40338-53-2 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

RN 40338-55-4 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)

RN 40338-56-5 CAPLUS
CN Pyrido[3,4-d]pyridine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

RN 40462-33-1 CAPLUS
CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

RN 129037-06-9 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 2-ethoxy-3-hydroxy- (CA INDEX NAME)

IT 655244-90-9P, HOBsad
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and evaluation of benzotriazene-based uronium and phosphonium salts as peptide coupling reagents)
RN 655244-90-9 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-hydroxy- (CA INDEX NAME)

IT 655244-94-3P, HDAZO
RL: RCT (Reagent); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and evaluation of benzotriazene-based uronium and phosphonium salts as peptide coupling reagents)
RN 655244-94-3 CAPLUS
CN Methanaminium, (dimethylamino)dimethylidene[(4-oxopyrido[3,2-d]pyrimidin-3(4H)-yl)oxy]-, hexafluorophosphate(1-) (1:1) (CA INDEX NAME)

CM 1

CRN 655244-93-2
CMF C12 H16 N5 O2

CM 2

CRN 16919-18-9
CMF F6 P
CCI CCS

08.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 929 THERE ARE 929 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:969819 CAPLUS
DOCUMENT NUMBER: 140:164216
TITLE: 3-Hydroxy-4-oxo-3,4-dihydro-5-azabenzo-1,2,3-triazene
AUTHOR(S): Carpino, Louis A.; Xia, Junrong; El-Faham, Ayman
CORPORATE SOURCE: Department of Chemistry, University of Massachusetts, Amherst, MA, 01003-4510, USA
SOURCE: Journal of Organic Chemistry (2004), 69(1), 54-61
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:164216
AB The known but long-neglected compound HODbat (3-hydroxy-4-oxo-3,4-dihydro-5-azabenzo-1,2,3-triazene) was shown to be in certain situations a useful peptide coupling additive. Uronium and phosphonium salts with HODbat built into the system were also useful stand-alone coupling reagents. Comparisons with related additives and coupling reagents showed that the new systems were sometimes more and sometimes less effective than previously described systems in the cases of stepwise and segment couplings. Applications to assembly of the model decapeptide ACE showed that HDATU was far more effective than HDTU and more effective than HATU under some conditions.
IT 654653-47-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(amidation of Cbz-Ala activated ester by p-chloroaniline)
RN 654653-47-0 CAPLUS
CN Carbamic acid, [1,1-dimethyl-2-oxo-2-[(4-oxopyrido[3,2-d]pyrimidin-3(4H)-yl)oxy]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

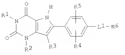
IT 654653-50-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(amidation of pivalate activated ester by a basic solvent)
RN 654653-50-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4-oxopyrido[3,2-d]pyrimidin-3-yl ester (CA INDEX NAME)

08.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:5963 CAPLUS
DOCUMENT NUMBER: 138:73267
TITLE: Preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors
INVENTOR(S): Vidal Juan, Bernat; Estevo Trias, Cristina; Segarra Matamoros, Victor; Ravina Rubira, Enrique; Fernandez Gonzalez, Franco; Loza Garcia, Maria Isabel; Sanz Carreras, Ferran
PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

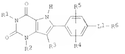
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003000694	A1	20030103	WO 2002-EP6727	20020618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 2193839	A1	20031101	ES 2001-1652	20010622
ES 2193839	B1	20050216		
AU 2002350425	A1	20030108	AU 2002-350425	20020618
EP 1409489	A1	20040421	EP 2002-790934	20020618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534828	T	20041118	JP 2003-507097	20020618
US 20050070558	A1	20050331	US 2004-481728	20040319
PRIORITY APPLN. INFO.:			ES 2001-1652	A 20010622
			WO 2002-EP6727	W 20020618

OTHER SOURCE(S): MARPAT 138:73267
GI



I

GI



I

AB The title compds. [I; R1, R2 = H, (CH2)nR7, (un)substituted alkyl (wherein n = 0-4; R7 = cycloalkyl, (un)substituted Ph, 3-7 membered (non)aromatic ring containing 1-4 heteroatoms and which is optionally fused to (hetero)aromatic ring); R3 = H, halo, NO2, etc.; R4, R5 = H, halo, alkyl, etc.; L1 = a direct bond, O, S, etc.; R6 = CONR10R11, SO2NR10R11, ON:CR12R13, aryl, etc.; R10, R11 = H, alkyl, cycloalkyl, etc.; R12, R13 = defined as R10 and R11, except that either or both of R12 and R13 can be an amino, alkylamino or dialkylamino] which have therapeutic potential as A2 adenosine receptor inhibitors (biol. data given), were prepared and formulated. Thus, coupling {4-[2-(5-nitro-2,6-dioxo-1,3-dipropyl-1,2,3,6-tetrahydropyrimidin-4-yl)vinyl]phenoxy}acetic acid (preparation given) with aniline (yield 42%) followed by reductive cyclization of the resulting intermediate mediated by triethylphosphite (46%) afforded I [R1, R2 = Pr; R3-R5 = H; L1 = OCH2; R6 = CONHPh].

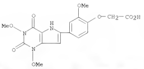
IT 480994-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 6-phenylpyrrolopyrimidinediones as A2 adenosine receptor inhibitors)

RN 480994-26-1 CAPLUS

CN Acetic acid, 2-[2-methoxy-4-(2,3,4,5-tetrahydro-1,3-dimethoxy-2,4-dioxo-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

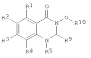
L6 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:592211 CAPLUS
DOCUMENT NUMBER: 135:166838
TITLE: Methods for synthesizing libraries of 2,3-dihydro-4(1H)-quinazolinones
INVENTOR(S): Gao, Yun
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

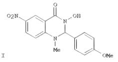
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6274383	B1	20010814	US 1997-990938	19971215
PRIORITY APPLN. INFO.:			US 1997-990938	19971215

OTHER SOURCE(S): CASREACT 135:166838; MARPAT 135:166838

GI

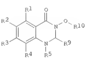


I

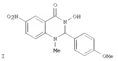


II

GI



I



II

AB The invention provides synthetic methods for solution and solid-phase synthesis of combinatorial libraries of title compds. (I) [wherein R1, R2, R3, and R4 = independently H, halo, alkyl, alkenyl, OH, alkoxy, NO2, SO2Ph, Ph, SO2NR6R7, NR6R7, OCOR8, SR8, CO2R8, or NHCOR8; or R1 and R2, R2 and R3, or R3 and R4 may be taken together to form a 5-7 membered (hetero)aromatic ring; R5 = H or (un)substituted alkyl, alkenyl, PhCH2, Ph, CH2-furyl, or CH2-pyridyl; R6 and R7 = independently H or alkyl or taken together = (CH2)4-6; R8 = H, alkyl, CH2Ph, or (un)substituted Ph; R9 = H, (ar)alkyl, (ar)alkenyl, (bi)cycloalkenyl, cycloalkyl, (un)substituted Ph or (hetero)aryl ring; R10 = H, alkyl, alkenyl, or (un)substituted Ph] via Lewis acid catalyzed reaction of an appropriate 2-aminobenzamide with an aldehyde at ambient temperature performed on a solid support or in solution

For

example, 2-amino-5-nitro-N-hydroxybenzamide was loaded on a Wang resin, cyclocondensed with p-anisaldehyde using Yb(OTf)3 in CH2Cl2, and the product cleaved with TFA/CH2Cl2 to afford the TFA salt of 2-p-methoxyphenyl-6-nitro-2,3-dihydro-3-hydroxyquinazolinone (II) in 80% yield.

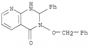
IT 1102227-44-0 1102227-62-2

RL: PRPH (Prophetic)

(Methods for synthesizing libraries of 2,3-dihydro-4(1H)-quinazolinones)

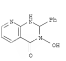
RN 1102227-44-0 CAPLUS

CN Pyrido[2,3-d]pyrimidin-4(1H)-one, 2,3-dihydro-2-phenyl-3-(phenylmethoxy)- (CA INDEX NAME)



RN 1102227-62-2 CAPLUS

CN Pyrido[2,3-d]pyrimidin-4(1H)-one, 2,3-dihydro-3-hydroxy-2-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:628126 CAPLUS
DOCUMENT NUMBER: 133:207905
TITLE: Preparation of bicyclic oxazinones and thiazinones as agrochemical fungicides
INVENTOR(S): Bereznak, James Francis; Marshall, Eric Allen
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051992	A1	20000908	WO 2000-US4578	20000223

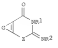
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

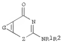
PRIORITY APPLN. INFO.: US 1999-122813P P 19990304

OTHER SOURCE(S): MARPAT 133:207905

GI

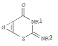


I



II

GI



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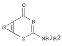


II

AB Title compds. [I, II; Z = O, S, SO, SO2, NR5; R5 = alkyl; Q = O, S; G = atoms to form a substituted fused Ph or 5-6 membered aromatic heterocyclyl; R1, R2 = (substituted) alkyl, alkenyl, alkynyl, haloalkyl, alkylthioalkyl, alkoxyalkenyl, alkoxy, etc.; R1R2 = (CH2)4, (CH2)5, CH2CH2OCH2CH2, etc.], were prepared. Thus, 6-iodo-2-propylamino-4H-1,3-benzoxazin-4-one (preparation given) was stirred with NaH in DMF at 0° followed by addition of PrI and warming to room temperature to give 2,3-dihydro-6-iodo-3-propyl-2-propylamino-4H-1,3-benzoxazin-4-one. The latter at 2 ppm gave 100% control of Erysiphe graminis on wheat seedlings.

IT 1100653-48-2

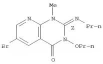
RL: PRPH (Prophetic)

(Preparation of bicyclic oxazinones and thiazinones as agrochemical fungicides)

RN 1100653-48-2 CAPLUS

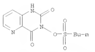
CN Pyrido[2,3-d]pyrimidin-4(1H)-one, 6-bromo-2,3-dihydro-1-methyl-3-propoxy-2-(propylimino)-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.

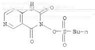


OS CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:620267 CAPLUS
DOCUMENT NUMBER: 119:220267
ORIGINAL REFERENCE NO.: 119:39141a,39144a
TITLE: Heterocyclic inhibitors of human leukocyte elastase: 3-hydroxypyridazopyrimidine, 3-hydroxypyridopyrimidine and 3-hydroxyquinazline-2,4(1H,3H)dione derivatives
AUTHOR(S): Groutas, William C.; Castrisos, James C.; Stanga, Michael A.; Kuang, Rong Ze; Venkataramam, Radhika; Epp, Jeffrey B.; Brubaker, Michael J.; Chong, Lee S
CORPORATE SOURCE: Dep. Chem., Wichita State Univ., Wichita, KS, 67208, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(6), 1163-8
CODEN: BMCLE8; ISSN: 0960-894X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Several heterocyclic compds. derived from 3-hydroxypyridazopyrimidine-, 3-hydroxypyridopyrimidine-, and 3-hydroxyquinazoline-2,4-(1H,3H)diones were found to be time-dependent irreversible inhibitors of human leukocyte elastase.
IT 150936-34-8 150936-35-9
RL: BIOL (Biological study)
(elastase of human leukocytes inhibition by, structure in relation to)
RN 150936-34-8 CAPLUS
CN 1-Butanesulfonic acid, 1,4-dihydro-2,4-dioxopyrido[3,2-d]pyrimidin-3-yl ester (CA INDEX NAME)



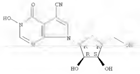
RN 150936-35-9 CAPLUS
CN 1-Butanesulfonic acid, 1,4-dihydro-2,4-dioxopyrido[4,3-d]pyrimidin-3-yl ester (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L6 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1993:490905 CAPLUS
DOCUMENT NUMBER: 119:90905
ORIGINAL REFERENCE NO.: 119:16260h,16261a
TITLE: Structure of the archaeal transfer RNA nucleoside G*-15 (2-amino-4,7-dihydro-4-oxo-7-β-D-ribofuranosyl-1H-pyrrolo[2,3-d]pyrimidine-5-carboximidamide (archaeosine))
AUTHOR(S): Gregson, John M.; Crain, Pamela F.; Edmonds, Charles G.; Gupta, Ramesh; Hashizume, Takeshi; Phillipson, Douglas W.; McCloskey, James A.
CORPORATE SOURCE: Dep. Med. Chem., Univ. Utah, Salt Lake City, UT, 84112, USA
SOURCE: Journal of Biological Chemistry (1993), 268(14), 10076-86
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A number of post-transcriptional modifications in tRNA are phylogenetically characteristic of the bacterial, eukaryotic, or archaeal domains, both with respect to sequence location and mol. structure at the nucleoside level. One of the most distinctive such modifications is nucleoside G*, located in archaeal tRNA at position 15, which in bacterial and eukaryotic tRNAs is a conserved site involved in maintenance of the dihydrouridine loop-T-loop tertiary interactions. G* occurs widely in nearly every branch of the archaeal phylogenetic domain, in contrast to its absence in all reported bacterial and eukaryotic tRNA sequences. The structure of G*-15 is 2-amino-4,7-dihydro-4-oxo-7-β-D-ribofuranosyl-1H-pyrrolo[2,3-d]pyrimidine-5-carboximidamide (7-formamidino-7-deazaguanosine), which is a non-purine, non-pyrimidine ribonucleoside; its structure thus reflects extensive modification beyond the guanine-15 specified by the corresponding gene sequences. The structure was established by mass spectrometry, and in particular from collision-induced dissociation mass spectra of derivs. formed by microscale permethylation, and is confirmed by chemical synthesis.
IT 61210-36-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)
RN 61210-36-4 CAPLUS
CN 3H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4,7-dihydro-3-hydroxy-4-oxo-7-β-D-ribofuranosyl- (CA INDEX NAME)

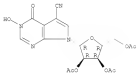
Absolute stereochemistry.



IT 61210-37-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with phosphoryl chloride)
RN 61210-37-5 CAPLUS
CN 3H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4,7-dihydro-3-hydroxy-4-oxo-7-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-

(CA INDEX NAME)

Absolute stereochemistry.



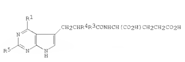
OS CITING REF COUNT: 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)

L6 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1991:656638 CAPLUS
DOCUMENT NUMBER: 115:256638
ORIGINAL REFERENCE NO.: 115:43669a,43672a
TITLE: Preparation of N-(pyrrolo[2,3-d]pyrimidin-3-ylacyl)glutamic acid derivatives as neoplasm inhibitors
INVENTOR(S): Taylor, Edward C.; Kuhnt, Dietmar G.; Shih, Chuan; Grindey, Gerald B.
PATENT ASSIGNEE(S): Princeton University, USA
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 432677	A1	19910619	EP 1990-123671	19901210
EP 432677	B1	19960306		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4996206	A	19910226	US 1990-528805	19900524
US 5028608	A	19910702	US 1990-528155	19900524
CN 1055182	A	19911009	CN 1990-110125	19901211
CN 1030608	C	19960103		

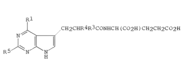
PRIORITY APPLN. INFO.:
US 1989-448742 A 19891211
US 1990-479655 A 19900208
US 1990-528155 A 19900524
US 1990-528805 A 19900524

OTHER SOURCE(S): MARPAT 115:256638
GI



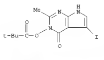
I

GI

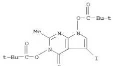


I

AB Title compds. I [R1 = HO, H2N; R3 = (substituted) 1,3-, -1,4-phenylene, (substituted) thienyldiyl, -furandiyl, alkanediyl, cyclohexanediyl; R4 = H, Me, HOCH2; R5 = H, C1-6 alkyl, H2N] and a salt thereof, are prepared. Di-Me N-[4-(4-hydroxy-6-pivaloylaminopyrrolo[2,3-d]pyrrimidin-3-ylethynyl)benzoyl]-L-glutamate in MeOH/CH2Cl2 and Pd/C was hydrogenated to Et derivative which in 1N NaOH was stirred at ambient temperature for 3 days to form
the Na salt which was neutralized with AcOH to give L-I (R1 = HO, R3 = C6H4, R4 = H, R5 = H2N) (II). The IC50 of II against CCRF-CEM cell cultures was 0.004 μg/mL.
IT 137281-20-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and coupling with di-Me (ethynylbenzoyl)glutamate)
RN 137281-20-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 4,7-dihydro-5-iodo-2-methyl-4-oxo-3H-pyrrolo[2,3-d]pyrimidin-3-yl ester (CA INDEX NAME)

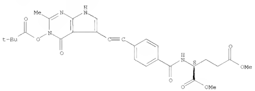


IT 137281-19-7P 137281-21-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of neoplasm inhibitors)
RN 137281-19-7 CAPLUS
CN 4H-Pyrrolo[2,3-d]pyrimidin-4-one, 3,7-bis(2,2-dimethyl-1-oxopropoxy)-3,7-dihydro-5-iodo-2-methyl- (9CI) (CA INDEX NAME)



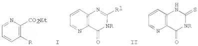
RN 137281-21-1 CAPLUS
CN L-Glutamic acid, N-[4-[[3-(2,2-dimethyl-1-oxopropoxy)-4,7-dihydro-2-methyl-4-oxo-3H-pyrrolo[2,3-d]pyrimidin-5-yl]ethynyl]benzoyl]-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry



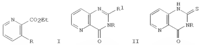
OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L6 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:440614 CAPLUS
DOCUMENT NUMBER: 113:40614
ORIGINAL REFERENCE NO.: 113:6903a,6906a
TITLE: The synthesis and transformations of 2-(ethoxycarbonyl)-3-isothiocyanatopyridine. Pyrido[3,2-d]pyrimidines and some azolopyrido[3,2-d]pyrimidines
AUTHOR(S): Urleb, Uros; Stanovnik, Branko; Tisler, Miha
CORPORATE SOURCE: Dep. Chem., Edvard Kardelj Univ., Ljubljana, 61000, Yugoslavia
SOURCE: Journal of Heterocyclic Chemistry (1990), 27(2), 407-12
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:40614
GI



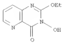
I II III

GI



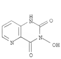
I II III

AB 2-Ethoxycarbonyl-3-isothiocyanatopyridine I (R = NCS), prepared from I (R = NH2) by thiophosgene method, was converted with nucleophiles into pyrido[3,2-d]pyrimidine derivs. II (R = Me, R1 = NHMe; R = CH2Ph, R1 = OEt, NHCH2Ph; R = OH, R1 = OEt; R = NH2, R1 = NHNH2) and thioxodihydropyridopyrimidinones III [R = Me, Bu, cyclohexyl, 2-HOC6H4, CH2CH2OH, (CH2)3OH] either directly, or through I [R = NHC(S)OEt]. Tricyclic systems were obtained from I [R = NHC(S)OEt] or II (R = NH2, R1 = NHNH2). Amination of I (R = NCS) with pyrrole followed by cyclization with amine gave II (R = NH2, Me, R1 = 1-pyrrolyl).
IT 128037-06-9P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 128037-06-9 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 2-ethoxy-3-hydroxy- (CA INDEX NAME)

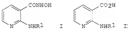


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L6 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:509708 CAPLUS
DOCUMENT NUMBER: 109:109708
ORIGINAL REFERENCE NO.: 109:18263a,18266a
TITLE: Effect of substitution on the absorption spectra of some pyridine derivatives
AUTHOR(S): Abou-El-Wafa, Moustafa H. M.; Hassan, Mamduh A.
CORPORATE SOURCE: Chem. Dep., Fac. Sci., Qena, Egypt
SOURCE: Pakistan Journal of Scientific and Industrial Research (1987), 30(4), 286-90
CODEN: PSIRAA; ISSN: 0030-9885
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The UV of pyrido[3,2-d]pyrimidines and 2,3-disubstituted pyridines were studied in appropriate solvents. Both band position and intensity are dependent on both type and position of substituents.
IT 40338-55-4
RL: PRP (Properties) (UV spectrum of)
RN 40338-55-4 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)

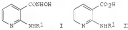


L6 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:204459 CAPLUS
DOCUMENT NUMBER: 108:204459
ORIGINAL REFERENCE NO.: 108:33593a,33596a
TITLE: Novel 2-substituted aminonicotinhydroxamic acids
AUTHOR(S): Ghoneim, K. M.; Badran, M. M.; Botros, S.; Abdel Gawad, M.
CORPORATE SOURCE: Fac. Pharm., Univ. Cairo, Cairo, Egypt
SOURCE: Egyptian Journal of Pharmaceutical Sciences (1987), 28(1-4), 9-16
CODEN: EJPSBZ; ISSN: 0301-5068
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:204459
GI



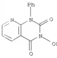
I II

GI

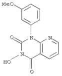


I II

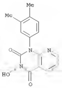
AB Title compds. I [R1 = tolyl, anisyl, xylyl, Cl2C6H3] were prepared from nicotinic acids II. II were converted to their Me esters, and the latter were treated with HONH2 to give I.
IT 114501-30-3P 114501-31-4P 114501-32-5P
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
RN 114501-30-3 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy-1-phenyl- (CA INDEX NAME)



RN 114501-31-4 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy-1-(3-methoxyphenyl)- (CA INDEX NAME)



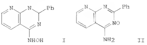
RN 114501-32-5 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 1-(3,4-dimethylphenyl)-3-hydroxy- (CA INDEX NAME)



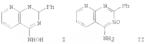
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1988:21823 CAPLUS
DOCUMENT NUMBER: 108:21823
ORIGINAL REFERENCE NO.: 108:3703a,3706a
TITLE: Synthesis and transformations of some pyrido[2,3-d]pyrimidines
AUTHOR(S): Kocevar, Marijan; Koller, Joze; Stanovnik, Branko; Tisler, Miha
CORPORATE SOURCE: Dep. Chem., E. Kardelj Univ., Ljubljana, YU-61000, Yugoslavia

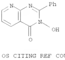
SOURCE: Monatshefte fuer Chemie (1987), 118(3), 399-407
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:21823
GI



GI

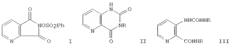


AB Pyridopyrimidines, e.g., I, and their N-oxides, e.g., II, were prepared from 2-amino-3-cyanopyridine. I and II readily undergo ring cleavage to various pyridine derivs.
IT 112084-98-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 112084-98-7 CAPLUS
CN Pyrido[2,3-d]pyrimidin-4(3H)-one, 3-hydroxy-2-phenyl- (CA INDEX NAME)



OS CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L6 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:176317 CAPLUS
DOCUMENT NUMBER: 106:176317
ORIGINAL REFERENCE NO.: 106:28617a,28620a
TITLE: Novel synthesis of pyridopyrimidinediones
AUTHOR(S): Fahmy, Amin Farouk; Youssef, Mohamed Salah Kamel; Halim, Mohamed Said Abdel; Metwally, Mamdouh Adly; Sauer, Jourgin
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Heterocycles (1986), 24(8), 2201-13
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:176317
GI



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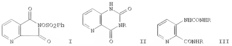
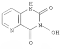


AB Pyridomaleimide I reacted with RNH2 (R = NH2, OH) to give pyridopyrimidinediones II in 68-74% yields. I reacted with NH3 to give urea III (R = H) and II (R = H). Pyrolysis of III (R = H) gave II (R = H) in 98% yield. Similarly I reacted with RNH2 (R = Ph, 4-MeC6H4, 4-MeOC6H4) to give III, which as pyrolysis gave II.
IT 40338-55-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40338-55-4 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L6 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1984:34384 CAPLUS
DOCUMENT NUMBER: 100:34384
ORIGINAL REFERENCE NO.: 100:5331a,5334a
TITLE: Chemistry of hydroxamic acids. Part 5. A facile rearrangement of pyridinecarbohydroxamic acids in formamide
AUTHOR(S): Eckstein, Zygmunt; Lipczynska-Kochany, Ewa; Krzeminski, Jerzy
CORPORATE SOURCE: Fac. Chem., Tech. Univ., Warsaw, 00-662, Pol.
SOURCE: Heterocycles (1983), 20(10), 1899-901
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:34384
GI

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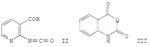
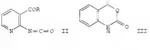
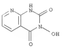


GI

I



AB I (R1 = CONHOH, R = R2 = H; R = CONHOH, R1 = R2 = H) heated 20 min at 130-150° in NH2CHO gave 79-84% I (R1 = NH2, R = R2 = H; R = NH2, R1 = R2 = H). I (R = R1 = H, R2 = CONHOH) under similar conditions gave 24.5-33.5% I (R = R1 = H; R2 = NH2, NHCONH2). I (R = H, R1 = R2 = CONHOH; R = H, R1 = CONHOH, R2 = CO2H) under these conditions gave 80-83.5% I (R = H, R2 = CO2H, R1 = NH2) via the intermediacy of II (R = NHOH, OH) and III (Q = NOH, O).
IT 40338-54-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(intermediacy of, in Lossen rearrangement of pyridinecarbohydroxamic acid)
RN 40338-54-3 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)

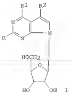


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L6 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1979:104306 CAPLUS
DOCUMENT NUMBER: 90:104306
ORIGINAL REFERENCE NO.: 90:16491a,16494a
TITLE: Synthesis and antitumor activity of 2,4,5,-tri-substituted-pyrrolo(2,3-d)-pyrimidine nucleosides
INVENTOR(S): Townsend, Leroy B.
PATENT ASSIGNEE(S): United States Dept. of Health, Education, and Welfare, USA
SOURCE: U. S. Pat. Appl., 26 pp. Avail. NTIS
CODEN: XAXXAV
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 853490	A0	19780623	US 1977-853490	19771121
US 4140851	A	19790220		

PRIORITY APPLN. INFO.: US 1977-853490 19771121
OTHER SOURCE(S): MARPAT 90:104306
GI



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AB Pyrrolopyrimidine nucleosides I (R = halo, R1 = halo, NH2, SH, alkylthio, C1-6 alkoxy, alkylamino, dialkylamino; R2 = cyano, CSNH2, CONH2, S = O, Se, NH, NNH2, NOH) were prepared from toyocamycin. Thus, toyocamycin was sequentially oxidized with m-ClC6H4CO3H, deaminated with NaNO2 and AcOH, acetylated with Ac2O-pyridine, chlorinated with POCl3, and treated with liquid NH3 to give I (R = Cl, R1 = NH2, R2 = cyano). I at dosages of 13-200 mg/kg body weight administered every other day on a 6-day schedule showed activity against AscH L1210 and P388 murine leukemia.

IT 61210-36-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

RN 61210-36-4 CAPLUS

CN 3H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4,7-dihydro-3-hydroxy-4-oxo-7-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.

IT 61210-37-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

RN 61210-37-5 CAPLUS

CN 3H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4,7-dihydro-3-hydroxy-4-oxo-7-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)- (CA INDEX NAME)

Absolute stereochemistry.

AB Ammonolysis of chloropyrrolopyrimidinone I (R = H, R1 = Cl) using NH3-MeOH gave a limited amount of I (R = H, R1 = NH2) (II), whereas using NH3(l) at 100° 16% II was obtained. Deamination of toyocamycin (III) followed by deamination-oxidation gave I (R = OH, R1 = H) (IV). Acetylation of IV and successive chlorination and treatment with Ba(OH)2 gave I (R = H, R1 = Cl).

IT 61210-36-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

RN 61210-36-4 CAPLUS

CN 3H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4,7-dihydro-3-hydroxy-4-oxo-7-β-D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.

IT 61210-37-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

RN 61210-37-5 CAPLUS

CN 3H-Pyrrolo[2,3-d]pyrimidine-5-carbonitrile, 4,7-dihydro-3-hydroxy-4-oxo-7-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)- (CA INDEX NAME)

Absolute stereochemistry.

GS CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L6 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1971:16877 CAPLUS

DOCUMENT NUMBER: 74:16877

ORIGINAL REFERENCE NO.: 74:2757a,2760a

TITLE: Synthesis of 2-amino-5-cyano-7-(β-D-ribofuranosyl)pyrrolo[2,3-d]pyrimidin-4-one. An important precursor for the synthesis of nucleoside Q and Q*

AUTHOR(S): Cheng, Chin Shu; Hinshaw, Barbara C.; Pearce, Raymond F.; Townsend, Leroy B.

CORPORATE SOURCE: Dep. Biochem. Sci., Univ. Utah, Salt Lake City, UT, USA

SOURCE: Journal of the American Chemical Society (1976), 98(24), 7870-2
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

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GS CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L6 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1976:173812 CAPLUS

DOCUMENT NUMBER: 84:173812

ORIGINAL REFERENCE NO.: 84:28143a,28146a

TITLES: Pharmacological and toxicological study of 3H-pyrido[2,3-d]pyrimidin-4-one derivatives

AUTHOR(S): Fossion, J.; Osselaere, J. P.

CORPORATE SOURCE: Dep. Pharmacodyn., Lab. S M B, Brussels, Belg

SOURCE: Journal de Pharmacie de Belgique (1976), 31(1), 51-62
CODEN: JPHBAJ; ISSN: 0047-2166

DOCUMENT TYPE: Journal

LANGUAGE: French

GI

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AB Of the 14 3H-pyrido[2,3-d]pyrimidin-4-one deriv. I tested, I; R = 3-pyridyl (41003-58-1) (1.25 mg/kg, orally) had the greatest diuretic activity. The oral and i.p. LD50is for this I derivative were 8.2 and 16.5 mg/kg, resp., in mice and 1,200 and 660 mg/kg, resp., in rats. No other significant pharmacol. activity was observed for this compound

IT 54136-30-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(diuretic activity of)

RN 54136-39-9 CAPLUS
CN Pyrido[2,3-d]pyrimidin-4(3H)-one, 3-hydroxy-2-(3-pyridinyl)- (CA INDEX NAME)

L6 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:488620 CAPLUS
DOCUMENT NUMBER: 83:88620
ORIGINAL REFERENCE NO.: 83:13823a,13830a
TITLE: Electron impact-induced fragmentation of 3-hydroxy quinoline-2,4(1H,3H)dione, pyridop[3]indine-2,4(1H,3H)diones, lumazine, and alloxazine
AUTHOR(S): Tserng, Kou-Yi; Hell, Charles L.; Sauer, Ludwig
CORPORATE SOURCE: Coll. Pharm., Univ. Illinois, Chicago, IL, USA
SOURCE: Journal of Heterocyclic Chemistry (1975), 12(1), 79-83
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Electron-bombardment of the N-3-hydroxy derivs. of the above-mentioned condensed ureolic revealed that the major fragmentations involved the heterocyclic ring. The most intense ion proved to be the M-32 ion which was created by the loss of the NHOH radical from the mol. ion. Mechanisms for this transition are presented. Other fragmentations common to these systems are discussed and compared with those reported for the corresponding N-3 deoxy analogs of the title compds. The mass spectral fragmentations of the 6-methyl-, N-methyl- and 6,N-dimethyl derivs. of 3-hydroxyquinoxaline-2,4(1H,3H)dione were analyzed and were consistent with those expected from these structures. Electron bombardment of the 3-benzenesulfoloxy derivs. of the title compds. resulted primarily in the scission of the sulfonate group in preference to that of the heterocyclic dione ring. These sulfonates also showed ions which indicated that a Lossen rearrangement had taken place in the mass spectrometer.
IT 40338-53-2 40338-55-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(pulse radiolysis of, mechanism of)
RN 40338-53-2 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

RN 40338-55-4 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L6 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:118760 CAPLUS
DOCUMENT NUMBER: 82:118760
ORIGINAL REFERENCE NO.: 82:18934b,18935a
TITLE: Relations between the structure of 2-(3-pyridyl)-3H-pyrido[2,3-d]pyrimidin-4-one and its diuretic activity. I
AUTHOR(S): Ommsleve, J. P.
CORPORATE SOURCE: Inst. Pharm., Univ. Liege, Liege, Belg
SOURCE: European Journal of Medicinal Chemistry (1974), 9(3), 310-12
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
GI For diagram(s), see printed CA Issue.
AB Three analogs of the diuretic, 2-(3-pyridyl)-3H-pyrido[2,3-d]pyrimidin-4-one (I) [41903-58-1] were prepared and tested for diuretic activity: 3-(3-pyridyl)-3H-pyrido[2,3-e]-1,2,4-thiadiazine 1,1-dioxide [54136-37-7] and 2-(3-pyridyl)-3H-4-quinazolone [59362-93-1] had no diuretic activity, indicating the importance of the 4-oxo and 5-N to I activity. 3-Hydroxy-2-(3-pyridyl)-3H-pyrido[2,3-d]-4-pyrimadone [54136-39-9] was a less effective diuretic agent than I, but had a very low acute toxicity, suggesting that its pharmacol. be investigated further
IT 54136-39-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and diuretic activity of)
RN 54136-39-9 CAPLUS
CN Pyrido[2,3-d]pyrimidin-4(3H)-one, 3-hydroxy-2-(3-pyridinyl)- (CA INDEX NAME)

L6 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1974:452151 CAPLUS
DOCUMENT NUMBER: 81:152151
ORIGINAL REFERENCE NO.: 81:23737a,23720a
TITLE: Degradative ring opening of pyrido- and pyrazino-3-benzenesulfonyloxyuracils and their conversion to condensed pyrazolones and triazolones
AUTHOR(S): Tserng, Kou-Yi; Sauer, Ludwig
CORPORATE SOURCE: Med. Cent., Univ. Illinois, Chicago, IL, USA
SOURCE: Journal of Heterocyclic Chemistry (1974), 11(2), 163-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue
GI For diagram(s), see printed CA Issue
AB Ring opening, followed by an immediate Lossen rearrangement, of 3-benzenesulfonyloxypyrido-[3,2-d, 3,4-d and 4,3-d]pyrimidine-2,4(1H,3H)diones I, II, and III with NaOMe in MeOH gave good yields of the Me esters of 3-[2-(methoxycarbonyl)hydrazino]-2-, 3-[2-(methoxycarbonyl)-hydrazino]-4- and 4-[2-(methoxycarbonyl)hydrazino]-3-pyridinecarboxylic acids, resp. The hydrazine esters were cyclized to the corresponding pyridopyrazolones. The reaction of 3-benzenesulfonyloxypyrido[3,2-d]pyridine-2,4(1H,3H)dione with NaOMe produced 8-methoxycarbonyl-4-triazolo[4,3-a]-pyridin-3(2H)one (IV, X = CH). NaOMe converted 3-benzene-sulfonyloxylumazine to 8-methoxycarbonyl-4-triazolo[4,3-a]-pyrazin-3(2H)one IV (X = N).
IT 40338-52-1 40338-53-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with sodium methoxide)
RN 40338-52-1 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

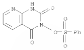
RN 40338-53-2 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

IT 40338-56-5 40462-37-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring cleavage of)
RN 40338-56-5 CAPLUS
CN Pyrido[3,4-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

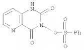
RN 40462-37-1 CAPLUS
CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

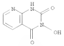
L6 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:83437 CAPLUS
DOCUMENT NUMBER: 78:83437
ORIGINAL REFERENCE NO.: 78:13466b,13465a
TITLE: 3-Hydroxypyrido[4]pyrimidine-2,4(1H,3H)-diones
AUTHOR(S): Tserng, Kou-Yi; Sauer, Ludwig
CORPORATE SOURCE: Med. Cent., Univ. Illinois, Chicago, IL, USA
SOURCE: Journal of Heterocyclic Chemistry (1972), 9(6), 1433-5
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue
GI For diagram(s), see printed CA Issue
AB Treatment of 2,3-pyridinedicarbohydroxamate in THF with PhSO2Cl for 0.5 hr followed by addition of NaOAc.3H2O and stirring for 2 hr gave 51.6% of a mixture of 3-benzenesulfonyloxypyrido[2,3-d and 3,2-d]pyrimidine-2,4(1H,3H)-diones (I, and II, resp., R = SO2Ph), which were hydrolyzed in 5% NaOH to give I and II (R = H), resp. Analogously, 3,4-pyridinedicarbohydroxamate gave 50% of a mixture of 3-benzenesulfonyloxypyrido[3,4-d and 4,3-d]pyrimidine-2,4(1H,3H)-diones (III and IV, resp., R = SO2Ph), which were hydrolyzed to III and IV (R = H), resp.
IT 40338-52-1P 40338-53-2P 40338-54-3P
40338-55-4P 40338-56-5P 40338-57-6P
40338-58-7P 40462-31-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 40338-52-1 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)



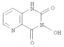
RN 40338-53-2 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)



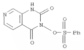
RN 40338-54-3 CAPLUS
CN Pyrido[2,3-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)



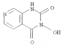
RN 40338-55-4 CAPLUS
CN Pyrido[3,2-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)



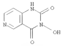
RN 40338-56-5 CAPLUS
CN Pyrido[3,4-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)



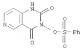
RN 40338-57-6 CAPLUS
CN Pyrido[3,4-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)



RN 40338-58-7 CAPLUS
CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 3-hydroxy- (CA INDEX NAME)

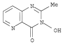


RN 40462-37-1 CAPLUS
CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 3-[(phenylsulfonyl)oxy]- (CA INDEX NAME)

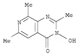


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L6 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:429903 CAPLUS
DOCUMENT NUMBER: 71:29903
ORIGINAL REFERENCE NO.: 71:5501a,5504a
TITLE: Pyridopyrimidines. VI. Fragmentation of some pyridopyrimidin-4(3H)-ones and pyridopyrimidine-2,4(1H,3H)-diones induced by electron impact
AUTHOR(S): Gelling, I. R.; Irwin, W. J.; Wibberley, Denman G.
CORPORATE SOURCE: Dep. Pharm., Univ. Aston, Birmingham, UK
SOURCE: Journal of the Chemical Society [Section] B: Physical Organic (1969), (5), 513-17
CODEN: JCSPAC; ISSN: 0045-6470
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The mass spectra of the four pyrido[2,3-d]- pyrido[3,2-d]-, pyrido[3,4-d], and pyrido[4,3-d]-pyrimidin-4(3H)-ones, and the corresponding four -pyrimidine-2,4(1H,3H)-diones, and a number of their Me, OH, and Ph derivs. were measured. Fragmentation pathways are postulated on the basis of these spectra and, in certain cases, with the aid of D labeling. Variations are observed in the mode of fragmentation according to the nature of the substituent group and the position of the N atom in the pyridine ring, and comparisons are drawn with the quinazolones and pteridones.
IT 3303-23-9 22378-53-6
RL: PRP (Properties)
(mass spectrum of)
RN 3303-23-9 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-hydroxy-2-methyl- (CA INDEX NAME)

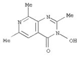


RN 22378-53-6 CAPLUS
CN Pyrido[3,4-d]pyrimidin-4(3H)-one, 3-hydroxy-2,6,8-trimethyl- (CA INDEX NAME)



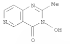
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L6 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1969:115099 CAPLUS
DOCUMENT NUMBER: 70:115099
ORIGINAL REFERENCE NO.: 70:21499a,21502a
TITLE: Pyridopyrimidines. V. Syntheses and properties of pyrido[3,4-d]-pyrimidin-4(3H)-ones and -pyrimidine-2,4(1H,3H)-diones
AUTHOR(S): Gelling, I. R.; Wibberley, Denman G.
CORPORATE SOURCE: Dep. Pharm., Univ. Aston, Birmingham, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1969), (6), 931-4
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 70:115099
AB 2,6,8-Trimethyl-, 6,8-dimethyl-2-phenyl-, and 2-phenylpyrido[3,4-d][1,3]oxazin-4-ones were prepared from the corresponding 3-aminopyridine-4-carboxylic acids. Treatment of the pyridooxazines with amines yielded the corresponding pyrido[3,4-d]pyrimidines or intermediate 3-aminopyridine-4-carboxamides. Hydrazinolyses and methylations of a number of pyrido[3,4-d]pyrimidin-4(3H)-ones and -pyrimidine-2,4(1H,3H)-diones are described. Some N.M.R. and mass spectra are discussed.
IT 22378-53-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 22378-53-6 CAPLUS
CN Pyrido[3,4-d]pyrimidin-4(3H)-one, 3-hydroxy-2,6,8-trimethyl- (CA INDEX NAME)

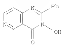


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

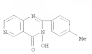
L6 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1968:29670 CAPLUS
DOCUMENT NUMBER: 68:29670
ORIGINAL REFERENCE NO.: 68:5759a,5762a
TITLE: Synthesis of pyrido[4,3-d]pyrimidin-4(3H)-ones from 4-aminonicotinic acid
AUTHOR(S): Ismail, A. G.; Wibberley, Denman G.
CORPORATE SOURCE: Dep. of Pharm. Univ. of Aston, Birmingham, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1967), (24), 2613-17
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 68:29670
GI For diagram(s), see printed CA Issue.
GI For diagram(s), see printed CA Issue.
AB Treatment of either a pyrido[4,3-d]1,3-oxazin-4-one or an ethyl 4-carboxamidonicotinate with amines yields a 4-carboxamidonicotinamide which may be cyclized by longer contact with the amine, or by heat, to give a pyrido[4,3-d]-pyrimidin-4(3H)-one, such as I(R-Me), Some typical ir and N.M.R. spectra are discussed.
IT 16952-51-5P 16952-52-6P 16952-53-7P
16952-54-8P 16952-55-9P 16952-56-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16952-51-5 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-hydroxy-2-methyl- (CA INDEX NAME)



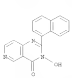
RN 16952-52-6 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-hydroxy-2-phenyl- (CA INDEX NAME)



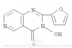
RN 16952-53-7 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-hydroxy-2-(4-methylphenyl)- (CA INDEX NAME)



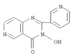
RN 16952-54-8 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-hydroxy-2-(1-naphthalenyl)- (CA INDEX NAME)



RN 16952-55-9 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 2-(2-furanyl)-3-hydroxy- (CA INDEX NAME)

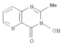


RN 16952-56-0 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4(3H)-one, 3-hydroxy-2-(3-pyridinyl)- (CA INDEX NAME)



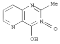
OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L6 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1965:463083 CAPLUS
DOCUMENT NUMBER: 63:63083
ORIGINAL REFERENCE NO.: 63:11559b-c
TITLE: Pyrido[3,2-d]pyrimidin-4(3H)-ones
AUTHOR(S): Irwin, W. J.; Wibberley, D. G.
CORPORATE SOURCE: Tech. Coll., Sunderland, UK
SOURCE: Journal of the Chemical Society (1965), (Aug.), 4240-6
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 63:63083
AB 2-Methyl- and 2-phenylpyrido[3,2-d][1,3]oxazin-4-ones are prepared from 3-aminopicolinic acid. Treatment of these with primary amines yielded derivs. of 3-acetamido- and 3-benzamidopicolinamide, which were cyclized to give two series of 2,3-disubstituted pyrido[3,2-d]pyrimidin-4(3H)-ones
IT 3303-23-9P, Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-hydroxy-2-methyl-
RL: PREP (Preparation)
(preparation of)
RN 3303-23-9 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4(3H)-one, 3-hydroxy-2-methyl- (CA INDEX NAME)

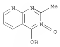


OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L6 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1960:118360 CAPLUS
DOCUMENT NUMBER: 54:118360
ORIGINAL REFERENCE NO.: 54:22673i,22674a-i
TITLE: Synthesis of some cyclic hydroxamic acids from o-aminocarboxylic acids
AUTHOR(S): Harrison, D.; Smith, A. C. B.
CORPORATE SOURCE: Nottingham & District Tech. Coll., UK
SOURCE: Journal of the Chemical Society (1960) 2157-60
CODEN: JCSOA9; ISSN: 0368-1769
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 54:118360
AB Cyclic hydroxamic acids of the quinazoline and 1,3,5- and 1,3,8-triazanaphthalene series were synthesized by 2 routes from esters of anthranilic acid, 2-aminonicotinic acid, and 3-aminopicolinic acid, resp. Typical compds. were reduced by means of Na dithionite to the cyclic amides. Two acyclic hydroxamic acids with o-amino substituents were converted into cyclic hydroxamic acids by HNO2. Et 3-aminopicolinate (1.1 g.) heated 45 min. at 100° with 4 ml. Ac2O, and the mixture evaporated gave 0.72 g. Et 3-acetamidopicolinate, needles, m. 140-2° (MeOH). o-Aminobenzhydroxamic acid (I) (1 g.) was refluxed 20 min. with 6 ml. Ac2O, excess H2O added, the mixture refluxed a further 5 min., and cooled to give from the filtrate 0.78 g. 4-hydroxy-2-methylquinazoline 3-oxide (II). 2-Aminonicotinohydroxamic acid was similarly converted into a cyclic product, but 3-aminopicolinohydroxamic acid afforded a crude product which was a mixture. Warming this with H2O gave a less soluble, fraction, m. 216-18°, probably 3-acetamidopicolinic acid (not further investigated) and the required cyclic hydroxamic acid. When 2.17 g. I was stirred at room temperature with 4.5 ml. Ac2O, heat was evolved and a solid formed; the mixture was cooled 0.5 hr., Et2O added, the solid collected, washed, and dried to give 2.57 g. o-acetamidobenzohydroxamic acid, m. 127-30° (incomplete), converted into II by either boiling 10 min. or dissolving in cold dilute HCl and neutralizing after 4 hrs. I (1.47 g.) and 3 ml. 98% HCO2H refluxed 15 min., 10 ml. H2O added, further refluxed, and cooled gave 1.44 g. 4-hydroxyquinazoline 3-oxide (III). I (0.6 g.) and 1.30 g. (Bz)2O heated 3 hrs. at 130-40°, the residue extracted with Et2O, and the insol. material crystallized gave 0.49 g. II. The above processes were all listed under method A. The following were method B. Me o-acetamidobenzoate (5.8 g.), 25 ml. MeOH, and 30 ml. NH2OH solution kept 7 days, the MeOH and most of the H2O removed, the residue dissolved in 25 ml. H2O, and 15 ml. 4N HCl added gave 6.13 g. crude II. The cyclic product was obtained similarly from Me 2-acetamidonicotinate and from Me o-formamidobenzoate, MeOH being replaced by H2O in the latter case. For Me o-benzamidobenzoate the initial solution was followed by formation of a paste; the solid was collected after 24 hrs., dissolved in H2O, and treated as above. Et 3-acetamidopicolinate also formed a paste and the cyclic product was isolated after 2 days by using HCl. The following 3-oxides were thus obtained (compound, m.p., % yield by method A or B given): III, 242-4°, 94, 24; II, 214-15°, 67, 76; 4 hydroxy-2-phenylquinazoline, 176-7°, 52, 55; 4-hydroxy-2-methyl-1,3,5-triazanaphthalene, 254-6°, 25, 45; 4-hydroxy-2-methyl-1,3,8-triazanaphthalene, 245-7°, 50, 36; 4-hydroxybenzo-1,2,3-triazine, 180-1° (decomposition), 86, 86; 4-hydroxy-1,2,3,5-tetraazanaphthalene, 195° (explodes), 32, 32. Na dithionite (16 g.) added during 3 hrs. to a refluxing mixture of 1.35 g. II, 32 ml. H2O, and 16 ml. alc., the solution adjusted to pH 6-7 by 4N NaOH, evaporated in vacuo, the dried residue extracted with hot alc., filtered, and concentrated gave 0.5 g. 4-hydroxy-2-methylquinazoline, m. 237-8°. 4-Hydroxy-2-phenylquinazoline 3-oxide was similarly reduced except that the addition of excess of aqueous NaOH was desirable. The product (47%) was o-benzamidobenzamide, m. 237-8°. Reduction of 0.55 g. 4-hydroxy-2-methyl-1,3,8-triazanaphthalene yielded the product, C8H7N3O, m. 260-2°. This product was also prepared as follows. 2-Aminonicotinic acid (2.03 g.) was heated 10 hrs. at 200-20° with 8.43 g. AcNH2, the residue extracted with hot alc., and crystallized. 4-Hydroxy-2-methylquinazoline (4.28 g.) in 25 ml. AcOH and 20 ml. 100 volume H2O2 kept 40 hrs. at 70-80°, the mixture partially evaporated, more H2O [illegible] ml. hot H2O, and treated with 20% NaOH gave 1.38 g. o-nitrobenzamide, green needles, m. 174-6° (H2O). Similar treatment of II and III gave 28 and 23% o-nitrobenzamide. I (2.3 g.) in 70 ml. H2O and 4 ml. concentrated HCl added to 1.1 equivalent 1.5 M NaNO2 gave at once 2.12 g. 4-hydroxybenzo-1,2,3-triazine 3-oxide (IV). 3-Aminopicolinohydroxamic acid (0.5 g.) in 5 ml. H2O and 0.6 ml. concentrated HCl stirred 5 min. at 0°, left 1.5 hrs. with 1.5 equivalent 2.5M NaNO2, and the solid collected gave 0.21 g. 4-hydroxy-1,2,3,5-tetraazanaphthalene 3-oxide, yellow powder. IV (0.4 g.) in 5 ml. H2O refluxed 1 hr. with 5 ml. 20% NaOH, cooled, and treated with 12 ml. 2.5N HCl gave 0.33 g. o-azidobenzoic acid (V), m. 142-3° (decomposition). A similar solution, left 24 hrs. at room temperature, also furnished 0.29 g. V, but a solution of 0.1N NaOH gave 80%
recovery of IV.
IT 116055-91-5P, Pyrido[3,2-d]pyrimidin-4-ol, 2-methyl-, 3-oxide
116055-92-6P, Pyrido[2,3-d]pyrimidin-4-ol, 2-methyl-, 3-oxide
RL: PREP (Preparation)
(preparation of)
RN 116055-91-5 CAPLUS
CN Pyrido[3,2-d]pyrimidin-4-ol, 2-methyl-, 3-oxide (CA INDEX NAME)



RN 116055-92-6 CAPLUS
CN Pyrido[2,3-d]pyrimidin-4-ol, 2-methyl-, 3-oxide (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

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L3            160 SEA FILE=REGISTRY SSS FUL L1
L4            155 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  L3 AND CAPLUS/LC
L5              5 SEA FILE=REGISTRY SPE=ON  ABB=ON  PLU=ON  L3 NOT L4
                  D L5 1-5

     FILE 'CAPLUS' ENTERED AT 12:43:45 ON 27 JUL 2009
L6             32 SEA FILE=CAPLUS SPE=ON  ABB=ON  PLU=ON  L4
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                  D L6 IBIB GI ABS FHITSTR 10-11
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D LS IRIR GI ABS WITSTR 1-9, 12-32

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	131.98	394.64
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